

Welcome to STN International! Enter x:x

LOGINID:ssspta1202txn

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Jun 03	New e-mail delivery for search results now available
NEWS 4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 7	Sep 03	JAPIO has been reloaded and enhanced
NEWS 8	Sep 16	Experimental properties added to the REGISTRY file
NEWS 9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11	Oct 24	BEILSTEIN adds new search fields
NEWS 12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13	Nov 18	DKILIT has been renamed APOLLIT
NEWS 14	Nov 25	More calculated properties added to REGISTRY
NEWS 15	Dec 04	CSA files on STN
NEWS 16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17	Dec 17	TOXCENTER enhanced with additional content
NEWS 18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 20	Feb 13	CANCERLIT is no longer being updated
NEWS 21	Feb 24	METADDEX enhancements
NEWS 22	Feb 24	PCTGEN now available on STN
NEWS 23	Feb 24	TEMA now available on STN
NEWS 24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 25	Feb 26	PCTFULL now contains images
NEWS 26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27	Mar 19	APOLLIT offering free connect time in April 2003
NEWS 28	Mar 20	EVENTLINE will be removed from STN
NEWS 29	Mar 24	PATDPAFULL now available on STN
NEWS 30	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 31	Apr 11	Display formats in DGENE enhanced
NEWS 32	Apr 14	MEDLINE Reload
NEWS 33	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 34	Apr 21	Indexing from 1947 to 1956 being added to records in CA/CAPLUS
NEWS 35	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS EXPRESS	April 4	CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS		STN Operating Hours Plus Help Desk Availability
NEWS INTER		General Internet Information
NEWS LOGIN		Welcome Banner and News Items
NEWS PHONE		Direct Dial and Telecommunication Network Access to STN
NEWS WWW		CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that

10/ 005,133

specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 15:09:55 ON 25 APR 2003

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 15:10:03 ON 25 APR 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 APR 2003 HIGHEST RN 505023-70-1

DICTIONARY FILE UPDATES: 24 APR 2003 HIGHEST RN 505023-70-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 10005133.str

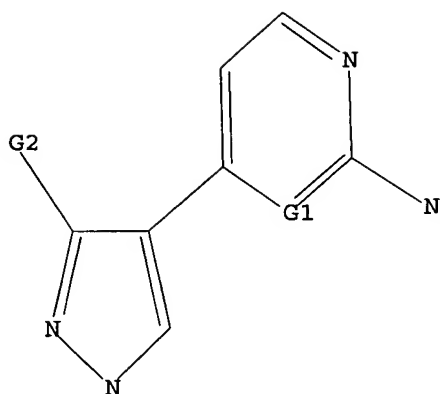
L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

10/ 005,133



G1 C,N

G2 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 15:10:25 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 98 TO ITERATE

100.0% PROCESSED 98 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
/ BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 1367 TO 2553  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 15:10:32 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 1945 TO ITERATE

100.0% PROCESSED 1945 ITERATIONS 30 ANSWERS  
SEARCH TIME: 00.00.01

L3 30 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	148.36

FILE 'CAPLUS' ENTERED AT 15:10:39 ON 25 APR 2003  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the

10/ 005,133

American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 25 Apr 2003. VOL 138 ISS 18  
FILE LAST UPDATED: 24 Apr 2003 (20030424/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 9 L3

=> d l4 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 9 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:150531 CAPLUS

DOCUMENT NUMBER: 138:187765

TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors

INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Khanna, Ish K.; Yu, Yi

PATENT ASSIGNEE(S): G. D. Searle & Company, USA

SOURCE: U.S., 415 pp., Cont.-in-part of U.S. Ser. No. 196,623. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

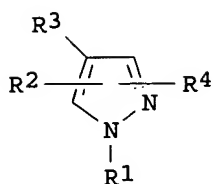
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6525059	B1	20030225	US 2000-513351	20000224
US 6514977	B1	20030204	US 1998-196623	19981120
WO 2000031063	A1	20000602	WO 1999-US26007	19991117

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

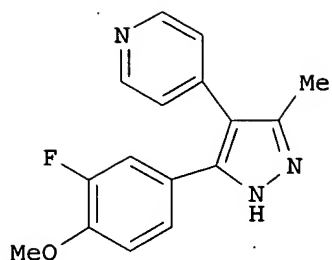
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1998-196623 A2 19981120  
WO 1999-US26007 A1 19991117  
US 1997-47570P P 19970522  
US 1998-83670 A2 19980522

OTHER SOURCE(S): MARPAT 138:187765  
GI



I



II

AB Title compds. [I; R1 = H, OH, NH<sub>2</sub>, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = (un)substituted piperidinyl; R3 = (un)substituted pyrimidinyl; R4 = (un)substituted Ph; and pharmaceutically acceptable salts or tautomers thereof] were prepd. by soln. phase and solid phase parallel array reactions of ketones with hydrazines. Thus, R<sub>3</sub>CH<sub>2</sub>C(=O)Me (R<sub>3</sub> = 4-pyridinyl) was condensed with 3,4-F(MeO)C<sub>6</sub>H<sub>3</sub>CHO to give the butenone (80%), which was cyclocondensed with TsNHNH<sub>2</sub> to afford the title compd. II (20.7%). The latter inhibited human p38 kinase activity in vitro with IC<sub>50</sub> of 4.6 .mu.M and inhibited tumor necrosis factor .alpha. (TNF.alpha.) and interleukin 1.beta. (IL-1.beta.) release from human peripheral blood mononuclear cells following stimulation with lipopolysaccharide with IC<sub>50</sub> of 0.5 .mu.M. . Thus, I are useful for the treatment of inflammation, arthritis, asthma, and other disorders mediated by p38 kinase and TNF.alpha..

IT 216504-84-6P 216504-85-7P 216505-37-2P

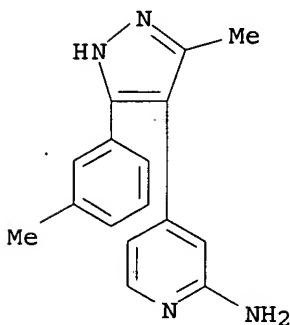
216505-48-5P 216505-49-6P 216507-06-1P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(p38 kinase inhibitor; prepn. of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)

RN 216504-84-6 CAPLUS

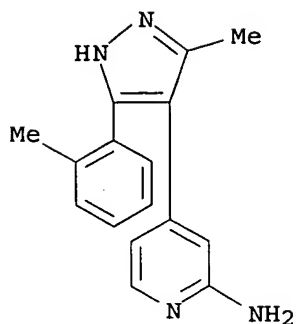
CN 2-Pyridinamine, 4-[3-methyl-5-(3-methylphenyl)-1H-pyrazol-4-yl]- (9CI)  
(CA INDEX NAME)



RN 216504-85-7 CAPLUS

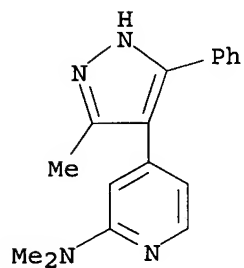
CN 2-Pyridinamine, 4-[3-methyl-5-(2-methylphenyl)-1H-pyrazol-4-yl]- (9CI)  
(CA INDEX NAME)

10/ 005,133



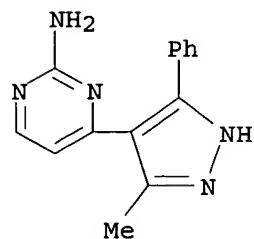
RN 216505-37-2 CAPLUS

CN 2-Pyridinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI)  
(CA INDEX NAME)



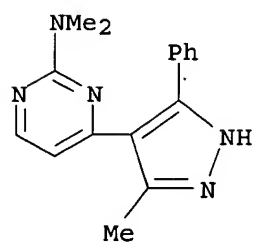
RN 216505-48-5 CAPLUS

CN 2-Pyrimidinamine, 4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX  
NAME)



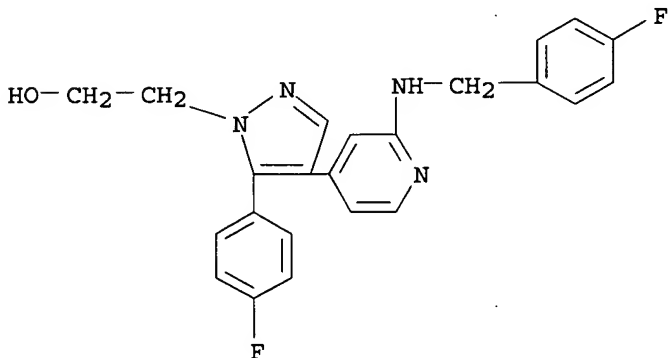
RN 216505-49-6 CAPLUS

CN 2-Pyrimidinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)-  
(9CI) (CA INDEX NAME)



10/ 005,133

RN 216507-06-1 CAPLUS  
CN 1H-Pyrazole-1-ethanol, 5-(4-fluorophenyl)-4-[2-[[4-fluorophenyl)methyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:92403 CAPLUS

DOCUMENT NUMBER: 138:137307

TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors

INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Khanna, Ish K.; Yu, Yi

PATENT ASSIGNEE(S): G.D. Searle & Company, USA

SOURCE: U.S., 541 pp., Cont.-in-part of U.S. Ser. No. 83,670.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6514977	B1	20030204	US 1998-196623	19981120
WO 2000031063	A1	20000602	WO 1999-US26007	19991117
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1144403	A1	20011017	EP 1999-965756	19991117
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			

10/ 005,133

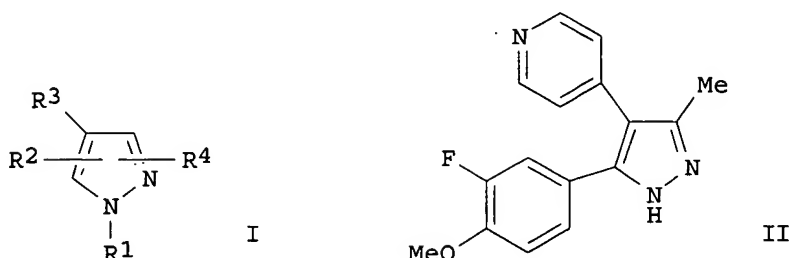
BR 9915420	A	20020122	BR 1999-15420	19991117
EE 200100268	A	20021216	EE 2001-200100268	19991117
US 6525059	B1	20030225	US 2000-513351	20000224
NO 2001002456	A	20010719	NO 2001-2456	20010518
US 6423713	B1	20020723	US 2001-918481	20010731

PRIORITY APPLN. INFO.:

US 1997-47570P	P	19970522
US 1998-83670	A2	19980522
US 1998-196623	A	19981120
WO 1999-US26007	W	19991117

OTHER SOURCE(S):            MARPAT 138:137307

GI



AB Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = (un)substituted piperidinyl or piperazinyl; R3 = (un)substituted pyrimidinyl; R4 = (un)substituted Ph; and pharmaceutically acceptable salts or tautomers thereof] were prepd. by soln. phase and solid phase parallel array reactions of ketones with hydrazines. Thus, R3CH2C(=O)Me (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO to give the butenone (80%), which was cyclocondensed with TsNHNH2 to afford the title compd. II (20.7%). The latter inhibited human p38 kinase activity in vitro with IC50 of 4.6 .mu.M and inhibited tumor necrosis factor .alpha. (TNF.alpha.) and interleukin 1.beta. (IL-1.beta.) release from human peripheral blood mononuclear cells following stimulation with lipopolysaccharide with IC50 of 0.5 .mu.M. Thus, I are useful for the treatment of inflammation, arthritis, asthma, and other disorders mediated by p38 kinase and TNF.alpha..

IT 216504-84-6P 216504-85-7P 216505-37-2P  
216505-48-5P 216505-49-6P 216507-06-1P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

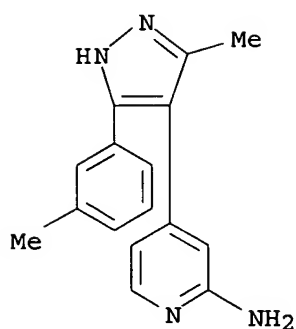
(p38 kinase inhibitor; prepn. of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)

RN 216504-84-6 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-5-(3-methylphenyl)-1H-pyrazol-4-yl]- (9CI)  
(CA INDEX NAME)

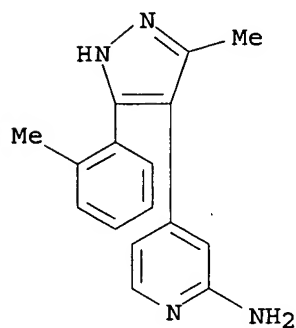


10/ 005,133



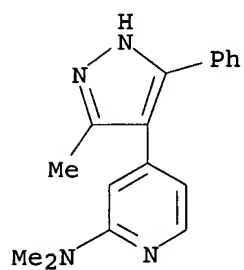
RN 216504-85-7 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-5-(2-methylphenyl)-1H-pyrazol-4-yl]- (9CI)  
(CA INDEX NAME)



RN 216505-37-2 CAPLUS

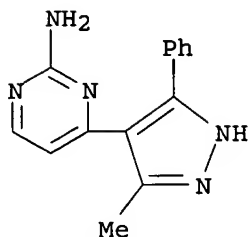
CN 2-Pyridinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI)  
(CA INDEX NAME)



RN 216505-48-5 CAPLUS

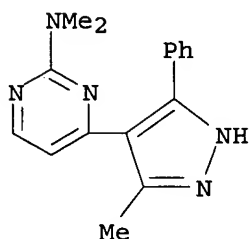
CN 2-Pyrimidinamine, 4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)

10/ 005,133



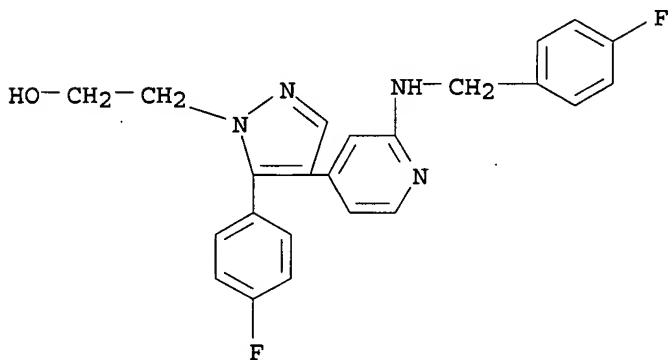
RN 216505-49-6 CAPLUS

CN 2-Pyrimidinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)-  
(9CI) (CA INDEX NAME)



RN 216507-06-1 CAPLUS

CN 1H-Pyrazole-1-ethanol, 5-(4-fluorophenyl)-4-[2-[[4-(fluorophenyl)methyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:888716 CAPLUS

DOCUMENT NUMBER: 137:384853

TITLE: Preparation of pyrazolyl pyridinamines and  
pyrimidinamines as inhibitors of Src and other protein  
kinases

INVENTOR(S): Moon, Young-Choon

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 75 pp.

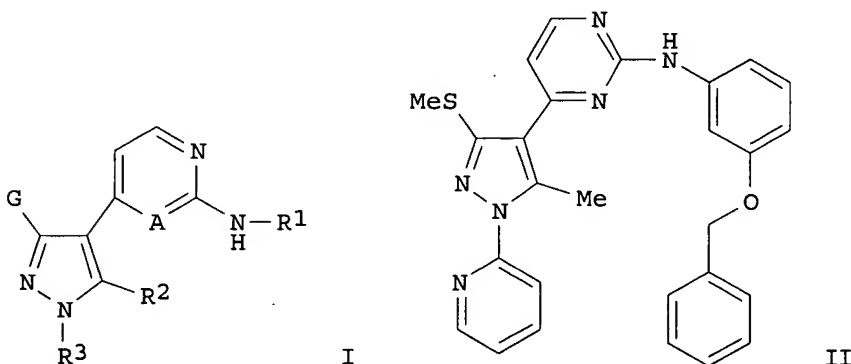
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092573	A2	20021121	WO 2002-US15606	20020516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			WO 2002-US15606	20020516
OTHER SOURCE(S):			MARPAT 137:384853	
GI				



AB Title compds. I [wherein G = XR or XAr; X = independently alkylidene wherein 1-2 non-adjacent methylene units are independently replaced by O, NR, S, CO, CONR, NRCO, NRCONR, SO, SO<sub>2</sub>, NRSO<sub>2</sub>, SO<sub>2</sub>NR, or NRSO<sub>2</sub>NR; A = N or CR; R = H or (un)substituted aliph. group; or NR<sub>2</sub> = heterocyclyl; Ar = (un)substituted 5-6 membered monocyclic ring with 0-3 heteroatoms or 8-10 membered bicyclic ring with 0-4 heteroatoms; R<sub>1</sub> = TnR or TnAr; n = 0-1; T = CO, CO<sub>2</sub>, COCO, COCH<sub>2</sub>CO, CONR, SO<sub>2</sub>, or SO<sub>2</sub>NR; R<sub>2</sub> = H, Ar, or (un)substituted aliph. group; R<sub>3</sub> = R or Ar; or pharmaceutically acceptable derivs. thereof] were prepd. as inhibitors of protein kinase, particularly inhibitors of Src mammalian protein kinase involved in cell proliferation, cell death and response to extracellular stimuli (no data). For example, 3-dimethylamino-1-[5-methyl-3-methylsulfanyl-1-(pyridin-2-yl)-1H-pyrazol-4-yl]propenone was coupled with N-(3-benzyloxyphenyl)guanidine in MeOH to give II (40%). I and compns. contg. I are useful in the treatment and prevention of various inflammatory, autoimmune, destructive bone, proliferative, infectious, neurodegenerative, allergic, and cardiac disorders and diseases (no data).

IT 475574-56-2P, N-(3-(Benzyloxy)phenyl)-N-[4-[5-methyl-3-(2-(methylthio)ethyl)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine  
 475574-57-3P, N-(3-Phenoxyphenyl)-N-[4-[5-methyl-3-(2-(methylthio)ethyl)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine  
 475574-58-4P, N-(3-Chlorophenyl)-N-[4-[5-methyl-3-(2-(methylthio)ethyl)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine  
 475574-59-5P, N-(3-Methoxyphenyl)-N-[4-[5-methyl-3-(2-

(methylthio)ethyl)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine

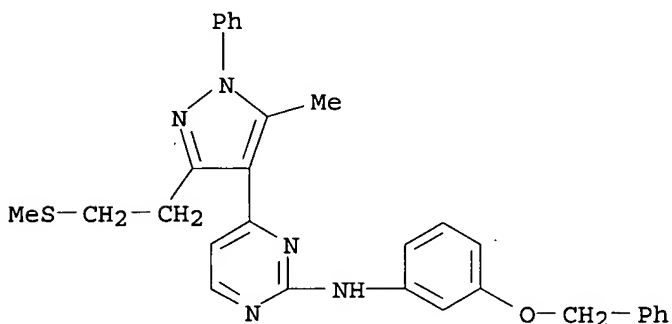
**475574-60-8P**, N-(3-(Methoxycarbonyl)phenyl)-N-[4-[5-methyl-3-(2-(methylthio)ethyl)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Src protein kinase inhibitor; prepn. of pyrazolyl pyridinamines and pyrimidinamine inhibitors of protein kinases using condensation, cyclization, and substitution reactions)

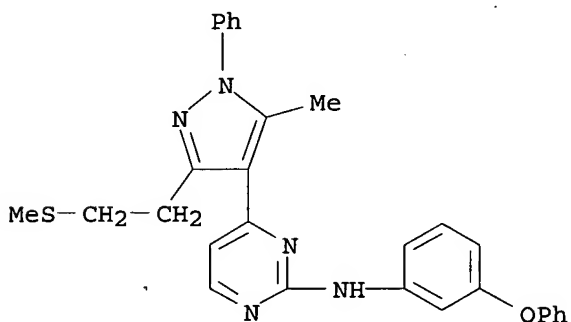
RN 475574-56-2 CAPLUS

CN 2-Pyrimidinamine, 4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]-N-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



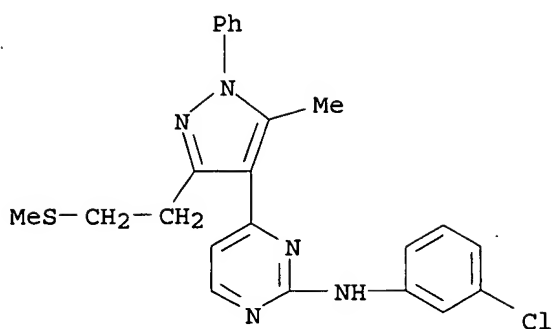
RN 475574-57-3 CAPLUS

CN 2-Pyrimidinamine, 4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]-N-(3-phenoxyphenyl)- (9CI) (CA INDEX NAME)

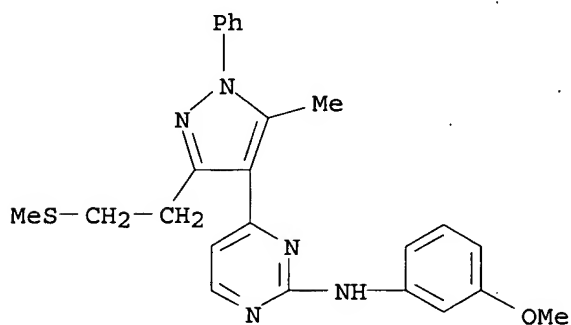


RN 475574-58-4 CAPLUS

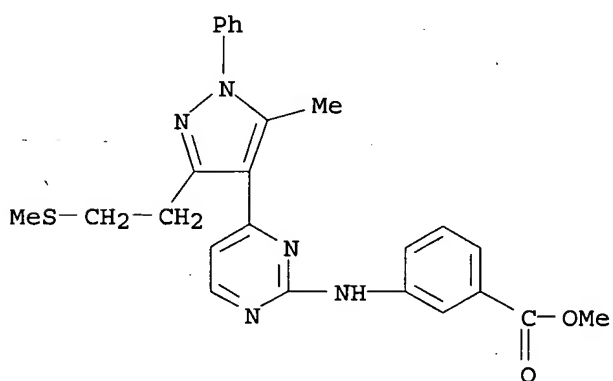
CN 2-Pyrimidinamine, N-(3-chlorophenyl)-4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 475574-59-5 CAPLUS  
 CN 2-Pyrimidinamine, N-(3-methoxyphenyl)-4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 475574-60-8 CAPLUS  
 CN Benzoic acid, 3-[[4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]-2-pyrimidinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

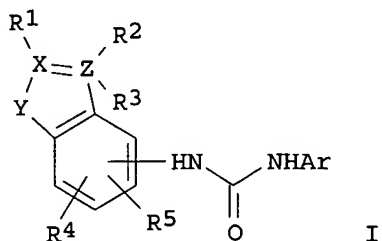


L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:591913 CAPLUS  
 DOCUMENT NUMBER: 137:150215  
 TITLE: Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents  
 INVENTOR(S): Hatayama, Satoshi; Hayashi, Kyoko; Honma, Mitsuki; Takahashi, Ikuko  
 PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

10/ 005,133

SOURCE: Jpn. Kokai Tokkyo Koho, 194 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002220338	A2	20020809	JP 2001-18755	20010126
PRIORITY APPLN. INFO.:			JP 2001-18755	20010126
OTHER SOURCE(S):	MARPAT	137:150215		
GI				



AB This invention relates to the general structures (I; Ar = N-contg. hetero arom. ring, X, Z = C, etc.; Y = CO, etc.; R1-R5 = H, etc.) and their salts as Cdk4 and/or Cdk6 inhibitors. I have antiproliferative effects on cancer cells and are potential antitumor agents. Formulation examples of I capsules, tablets, and injections were given.

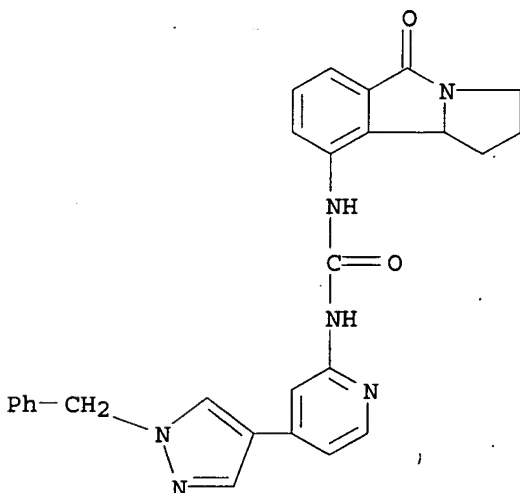
IT 322685-65-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents)

RN 322685-65-4 CAPLUS

CN Urea, N-[4-[1-(phenylmethyl)-1H-pyrazol-4-yl]-2-pyridinyl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)



10/ 005,133

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:449675 CAPLUS

DOCUMENT NUMBER: 137:33311

TITLE: Preparation of pyrazolylpyridine- and -pyrimidineamines as JNK inhibitors

INVENTOR(S): Ledebøer, Mark; Salituro, Francesco; Moon, Young-Choon

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

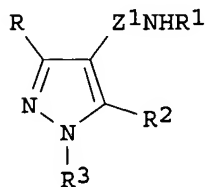
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046184	A1	20020613	WO 2001-US46383	20011205
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002028783	A5	20020618	AU 2002-28783	20011205
US 2002111353	A1	20020815	US 2001-5133	20011205
PRIORITY APPLN. INFO.:			US 2000-251409P P	20001205
			WO 2001-US46383 W	20011205

OTHER SOURCE(S): MARPAT 137:33311

GI



AB Title compds. (I; R = H or alkyl; R1 = cycloalkyl, Ph, pyridyl, etc.; R2 = H, alkoxyethyl, heterocyclylmethyl, etc.; R3 = Ph, CH2Ph, etc.; Z1 = pyridine- or pyrimidine-4,2-diyl) were prepd. Thus, R4Z1CH(CHO)2 (R4 = MeS, Z1 = pyrimidine-2,4-diyl) was cyclocondensed with H2NNHC6H3F2-2,4 and the S-oxidized product aminated by cyclohexylamine to give I (R = R2 = H, R1 = cyclohexyl, R3 = C6H3F2-2,4). Data for biol. activity of I were given.

IT 434283-94-0P 434283-95-1P 434283-96-2P  
434283-97-3P 434283-98-4P 434283-99-5P  
434284-00-1P 434284-01-2P 434284-02-3P  
434284-03-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrazolylpyridine- and -pyrimidineamines as JNK inhibitors)

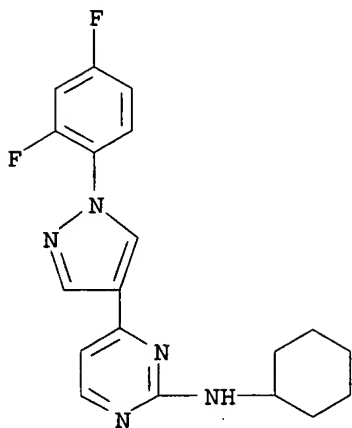
RN 434283-94-0 CAPLUS

CN 2-Pyrimidinamine, N-cyclohexyl-4-[1-(2,4-difluorophenyl)-1H-pyrazol-4-yl]-

*Applicant's  
prepatent  
pub.*

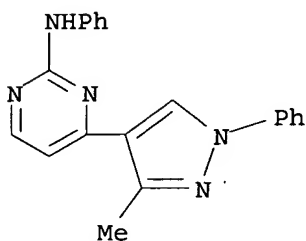
10/ 005,133

(9CI) (CA INDEX NAME)



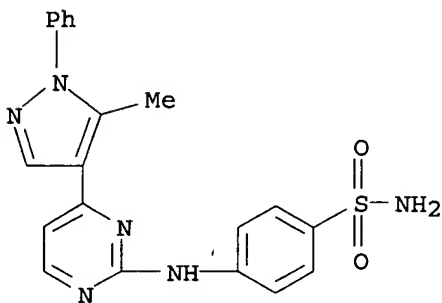
RN 434283-95-1 CAPLUS

CN 2-Pyrimidinamine, 4-(3-methyl-1-phenyl-1H-pyrazol-4-yl)-N-phenyl- (9CI)  
(CA INDEX NAME)



RN 434283-96-2 CAPLUS

CN Benzenesulfonamide, 4-[[4-(5-methyl-1-phenyl-1H-pyrazol-4-yl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

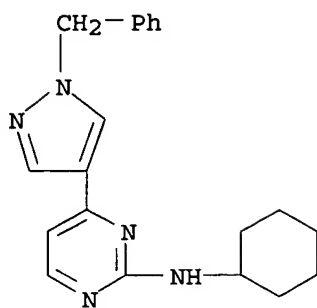


RN 434283-97-3 CAPLUS

CN 2-Pyrimidinamine, N-cyclohexyl-4-[1-(phenylmethyl)-1H-pyrazol-4-yl]- (9CI)  
(CA INDEX NAME)

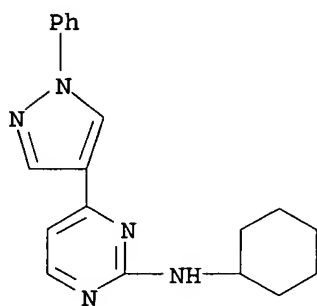


10/ 005,133



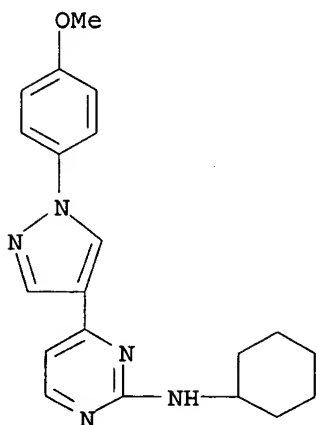
RN 434283-98-4 CAPLUS

CN 2-Pyrimidinamine, N-cyclohexyl-4-(1-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)



RN 434283-99-5 CAPLUS

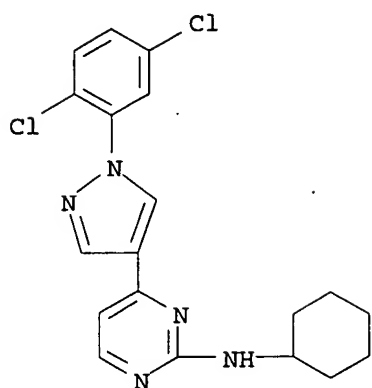
CN 2-Pyrimidinamine, N-cyclohexyl-4-[1-(4-methoxyphenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 434284-00-1 CAPLUS

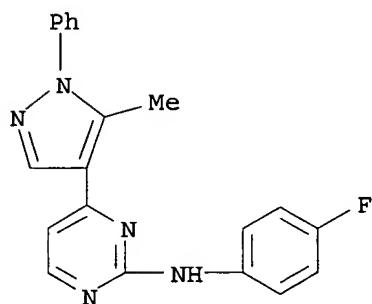
CN 2-Pyrimidinamine, N-cyclohexyl-4-[1-(2,5-dichlorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

10/ 005,133



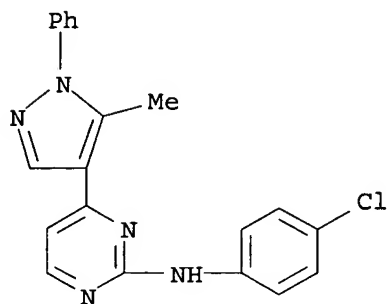
RN 434284-01-2 CAPLUS

CN 2-Pyrimidinamine, N-(4-fluorophenyl)-4-(5-methyl-1-phenyl-1H-pyrazol-4-yl)-  
(9CI) (CA INDEX NAME)



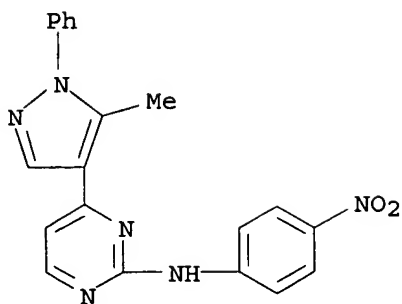
RN 434284-02-3 CAPLUS

CN 2-Pyrimidinamine, N-(4-chlorophenyl)-4-(5-methyl-1-phenyl-1H-pyrazol-4-yl)-  
(9CI) (CA INDEX NAME)



RN 434284-03-4 CAPLUS

CN 2-Pyrimidinamine, 4-(5-methyl-1-phenyl-1H-pyrazol-4-yl)-N-(4-nitrophenyl)-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:78363 CAPLUS

DOCUMENT NUMBER: 134:147614

TITLE: Preparation of N,N'-biarylurea derivatives as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6)

INVENTOR(S): Hayama, Takashi; Hayashi, Kyoko; Honma, Mitsutaka; Takahashi, Ikuko

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 460 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

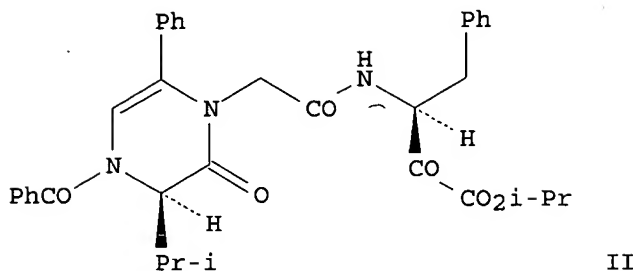
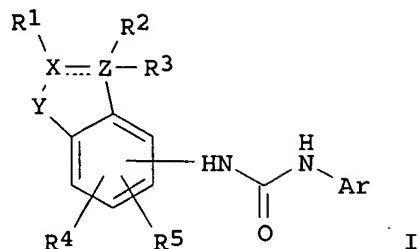
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007411	A1	20010201	WO 2000-JP4991	20000726
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001106673	A2	20010417	JP 2000-274175	20000726
EP 1199306	A1	20020424	EP 2000-949909	20000726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: JP 1999-211384 A 19990726

WO 2000-JP4991 W 20000726

OTHER SOURCE(S): MARPAT 134:147614

GI



AB N-(hetero)aryl-N'-heterocyclylurea derivs. represented by general formula (I) [wherein Ar represents a nitrogenous heterocyclic arom. group such as (un)substituted pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, pyrrolyl, imidazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, benzothiazolyl, or benzoxazolyl; X and Z each represents C or N or together with R1 or R2 and/or R3 represent CH or N; Y represents CO, SO, or SO<sub>2</sub>; R1 represents hydrogen, (un)substituted lower alkyl, Y3-W2-Y4-R5, etc.; wherein R5 = H, (un)substituted lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkyl, aryl, imidazolyl, isoxazolyl, isoquinolyl, isoindolyl, indazolyl, indolyl, indolidinyl, isothiazolyl, ethylenedioxyphenyl, oxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrazolyl, quinoxalinyl, quinolyl, etc.; W2 = single bond, O, S, SO, SO<sub>2</sub>, N-(un)substituted NH, SO<sub>2</sub>NH, NHSO<sub>2</sub>NH, NHSO<sub>2</sub>, CONH, NHCO, NHCONH, NHCO<sub>2</sub>, etc.; Y3, Y4 = single bond, linear or branched lower alkylene; R2 and R3 each represents hydrogen, lower alkyl or alkoxy, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above), or one of R2 and R3 together with R1 and X forms cyclohexane, cyclopentane, piperidine, 3,4,5,6-tetrahydro-1,3-oxazine, tetrahydrothiopyran, pyrrolidine, tetrahydrothiofuran, oxazolidine ring, etc.; R4 and R5 represent H, halo, OH, amino, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above)] or salts thereof are prepd. The compds. (e.g. II) have a remarkable proliferation-inhibitory effect on tumor cells. A Cdk4 and/or Cdk6 inhibitor for use in the therapy of malignant tumor can hence be provided. II showed IC<sub>50</sub> of 0.061 and 0.019  $\mu$ M against cyclin-D1-Cdk4 and cyclin-D2-Cdk4, resp., vs. 0.36 and 0.056  $\mu$ M, resp., for (+.-)-flavopiridol, and inhibited the proliferation of HCT116 and MKN-1 cells with IC<sub>50</sub> of 0.013 and 0.10  $\mu$ M, resp., vs. 0.15 and 0.87  $\mu$ M, resp., for (+.-)-flavopiridol. Pharmaceutical formulations contg. I were prepd.

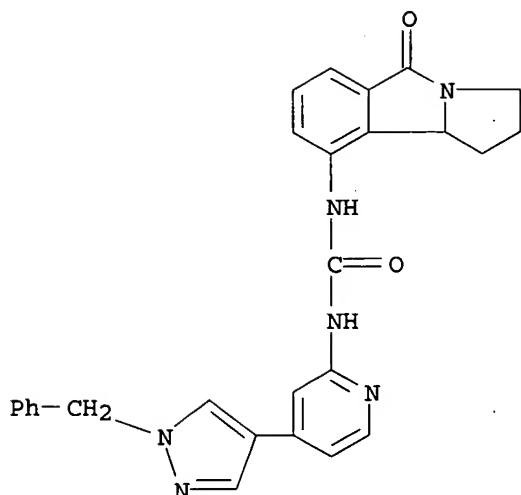
IT 322685-65-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(hetero)aryl-N'-heterocyclylurea derivs. as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents)

RN 322685-65-4 CAPLUS

CN Urea, N-[4-[1-(phenylmethyl)-1H-pyrazol-4-yl]-2-pyridinyl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:368337 CAPLUS

DOCUMENT NUMBER: 133:4656

TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors

INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Z.; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Khanna, Ish K.; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Yu, Yi

PATENT ASSIGNEE(S): G.D. Searle & Co., USA

SOURCE: PCT Int. Appl., 1210 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000031063	A1	20000602	WO 1999-US26007	19991117
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6514977	B1	20030204	US 1998-196623	19981120
EP 1144403	A1	20011017	EP 1999-965756	19991117
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

IE, SI, LT, LV, FI, RO

BR 9915420 A 20020122  
 EE 200100268 A 20021216  
 US 6525059 B1 20030225  
 NO 2001002456 A 20010719

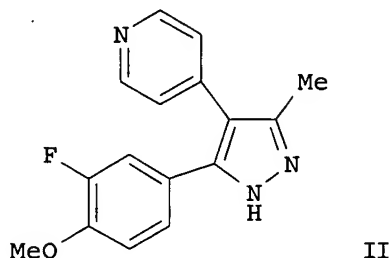
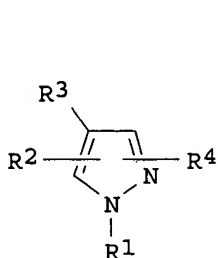
BR 1999-15420 19991117  
 EE 2001-200100268 19991117  
 US 2000-513351 20000224  
 NO 2001-2456 20010518

PRIORITY APPLN. INFO.:

US 1998-196623 A 19981120  
 US 1997-47570P P 19970522  
 US 1998-83670 A2 19980522  
 WO 1999-US26007 W 19991117

OTHER SOURCE(S):  
 GI

MARPAT 133:4656



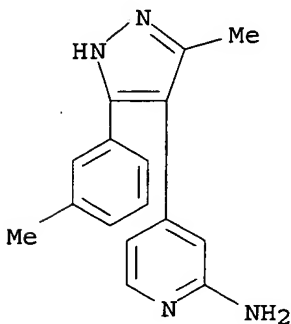
AB Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = H, halo, alkyl, alkoxy, (un)substituted piperidinyl, etc.; R3 = pyridyl, pyrimidinyl, quinolyl, etc.; R4 = H, alkyl, heterocyclyl, aryl, etc.] were prepd. by reaction of ketones with hydrazines. Thus, R3CH2C(=O)Me (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO and the product cyclocondensed with TsNHNH2 to give title compd. II. Data for biol. activity of I were given.

IT 216504-84-6P 216504-85-7P 216505-37-2P  
 216505-48-5P 216505-49-6P 216507-06-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of heteroarylpyrazole p38 kinase inhibitors by  
 cyclocondensation of hydrazines with ketones)

RN 216504-84-6 CAPLUS

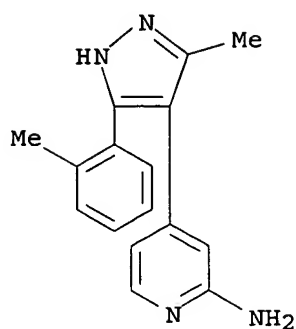
CN 2-Pyridinamine, 4-[3-methyl-5-(3-methylphenyl)-1H-pyrazol-4-yl]- (9CI)  
 (CA INDEX NAME)



RN 216504-85-7 CAPLUS

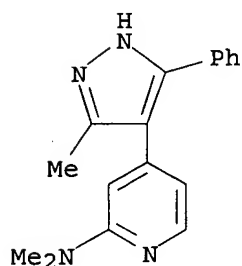
CN 2-Pyridinamine, 4-[3-methyl-5-(2-methylphenyl)-1H-pyrazol-4-yl]- (9CI)  
 (CA INDEX NAME)

10/ 005,133



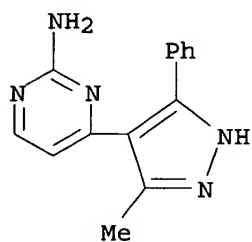
RN 216505-37-2 CAPLUS

CN 2-Pyridinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl) - (9CI)  
(CA INDEX NAME)



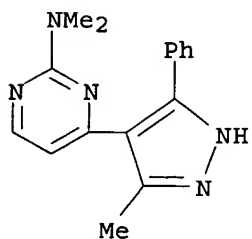
RN 216505-48-5 CAPLUS

CN 2-Pyrimidinamine, 4-(3-methyl-5-phenyl-1H-pyrazol-4-yl) - (9CI) (CA INDEX NAME)



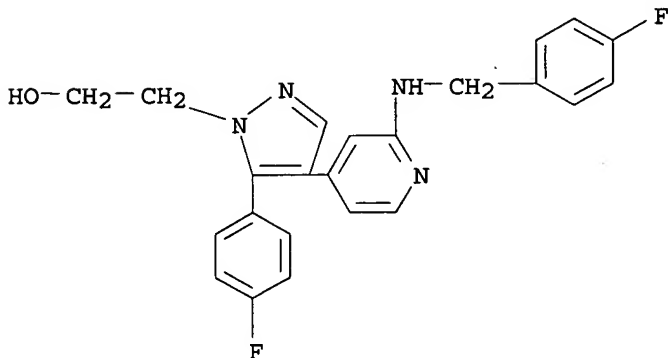
RN 216505-49-6 CAPLUS

CN 2-Pyrimidinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl) - (9CI) (CA INDEX NAME)



10/ 005,133

RN 216507-06-1 CAPLUS  
CN 1H-Pyrazole-1-ethanol, 5-(4-fluorophenyl)-4-[2-[[4-fluorophenyl)methyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:700930 CAPLUS

DOCUMENT NUMBER: 132:151766

TITLE: Synthesis and antimicrobial activity of 4-(4-pyrazolyl)-2-aminopyrimidines

AUTHOR(S): Singh, Shiv P.; Batra, Hitesh; Naithani, Rajesh; Prakash, Om

CORPORATE SOURCE: Department of Chemistry, Kurukshetra University, Kurukshetra, 136 119, India

SOURCE: Indian Journal of Heterocyclic Chemistry (1999), 9(1), 73-74

CODEN: IJCHEI; ISSN: 0971-1627

PUBLISHER: Prof. R. S. Varma

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 1-(Pyrazol-4-yl)-1,3 butanediones on condensation with guanidine carbonate give 4-(4-pyrazolyl)-2-aminopyrimidines in good yields. A few compds. show moderate level of antimicrobial activity.

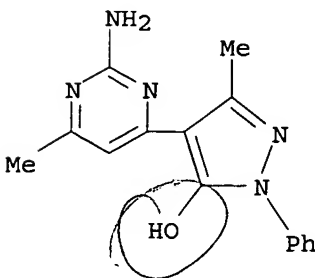
IT 257625-23-3P 257625-24-4P 257625-25-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antimicrobial activity of [hydroxy(methyl)pyrazolyl]pyrimidinamines)

RN 257625-23-3 CAPLUS

CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)



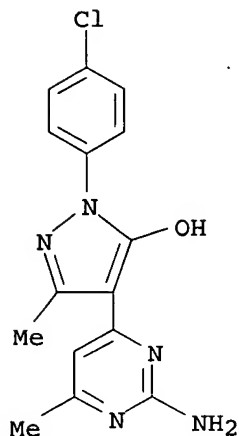
2  
R. not a hydroxy



10/ 005,133

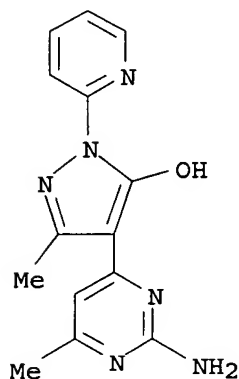
RN 257625-24-4 CAPLUS

CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-1-(4-chlorophenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 257625-25-5 CAPLUS

CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-3-methyl-1-(2-pyridinyl)- (9CI) (CA INDEX NAME)

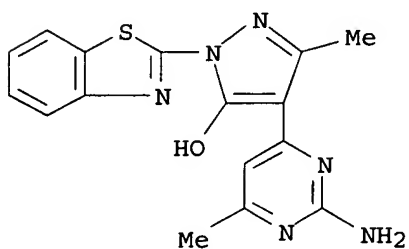


IT 257625-26-6P 257625-27-7P 257625-28-8P  
257625-29-9P 257625-30-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 257625-26-6 CAPLUS

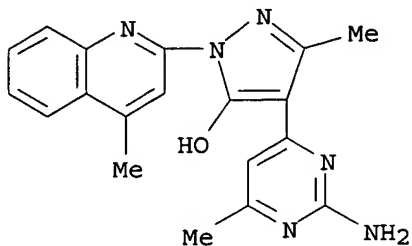
CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-1-(2-benzothiazolyl)-3-methyl- (9CI) (CA INDEX NAME)



10/ 005,133

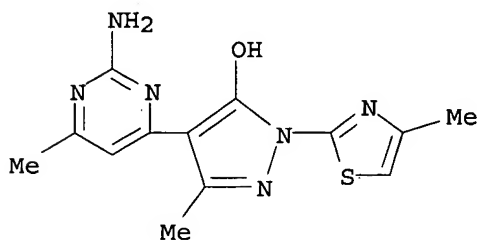
RN 257625-27-7 CAPLUS

CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-3-methyl-1-(4-methyl-2-quinolinyl)- (9CI) (CA INDEX NAME)



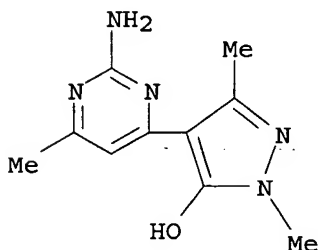
RN 257625-28-8 CAPLUS

CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-3-methyl-1-(4-methyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



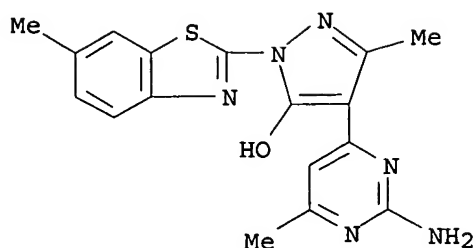
RN 257625-29-9 CAPLUS

CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 257625-30-2 CAPLUS

CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-3-methyl-1-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:789144 CAPLUS

DOCUMENT NUMBER: 130:38377

TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors

INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Hanson, Gunnar J.; Koszyk, Francis J.; Liao, Shuyuan; Partis, Richard A.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Weier, Richard M.; Xu, Xiangdong

PATENT ASSIGNEE(S): G.D. Searle and Co., USA; et al.

SOURCE: PCT Int. Appl., 828 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

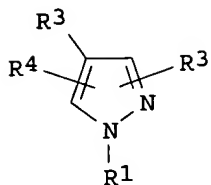
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

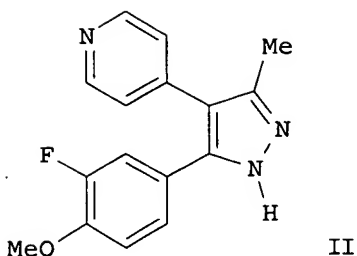
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852940	A1	19981126	WO 1998-US10436	19980522
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9875883	A1	19981211	AU 1998-75883	19980522
AU 754830	B2	20021128		
ZA 9804358	A	19990524	ZA 1998-4358	19980522
EP 1000055	A1	20000517	EP 1998-923642	19980522
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 9900527	A	20000615	EE 1999-527	19980522
BR 9809147	A	20000801	BR 1998-9147	19980522
JP 2002508754	T2	20020319	JP 1998-550650	19980522
NZ 501112	A	20021025	NZ 1998-501112	19980522
NO 9905695	A	20000121	NO 1999-5695	19991119
MX 9910759	A	20000531	MX 1999-10759	19991122
PRIORITY APPLN. INFO.:			US 1997-47570P	P 19970522
			WO 1998-US10436	W 19980522

OTHER SOURCE(S): MARPAT 130:38377  
GI



I



II

AB Title compds. [I; R1 = H, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = H, halo, alkyl, alkoxy, etc.; R3 = pyridyl, pyrimidinyl, quinolyl, etc.; R4 = H, alkyl, heterocyclyl, aryl, etc.] were prepd. Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO and the product cyclocondensed with TsNHNH2 to give title compd. II. Data for biol. activity of I were given.

IT 216504-84-6P 216504-85-7P 216505-37-2P

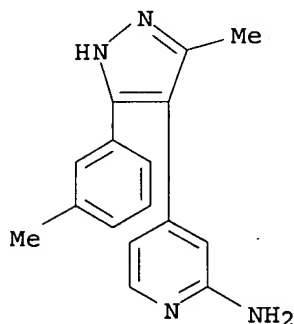
216505-48-5P 216505-49-6P 216507-06-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heteroarylpyrazoles as p38 kinase inhibitors)

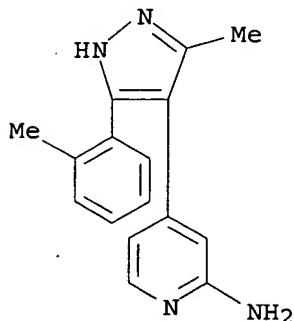
RN 216504-84-6 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-5-(3-methylphenyl)-1H-pyrazol-4-yl]- (9CI)  
(CA INDEX NAME)



RN 216504-85-7 CAPLUS

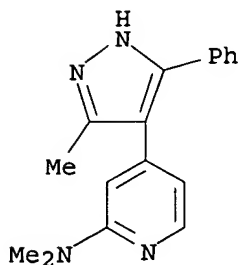
CN 2-Pyridinamine, 4-[3-methyl-5-(2-methylphenyl)-1H-pyrazol-4-yl]- (9CI)  
(CA INDEX NAME)



RN 216505-37-2 CAPLUS

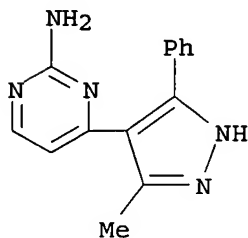
10/ 005,133

CN 2-Pyridinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI)  
(CA INDEX NAME)



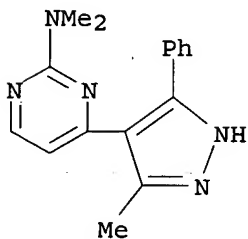
RN 216505-48-5 CAPLUS

CN 2-Pyrimidinamine, 4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)



RN 216505-49-6 CAPLUS

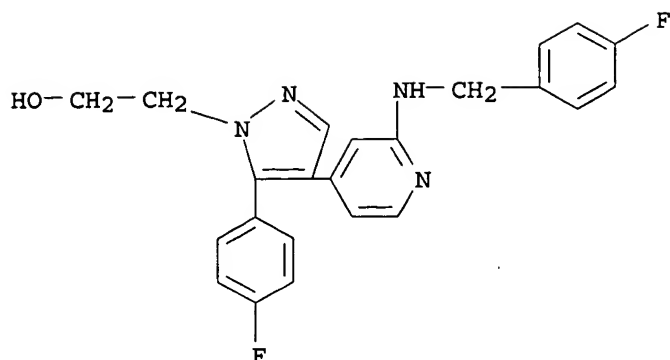
CN 2-Pyrimidinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)



RN 216507-06-1 CAPLUS

CN 1H-Pyrazole-1-ethanol, 5-(4-fluorophenyl)-4-[2-[[4-fluorophenyl)methyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)

10/ 005,133



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 15:09:55 ON 25 APR 2003)

FILE 'REGISTRY' ENTERED AT 15:10:03 ON 25 APR 2003

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 30 S L1 FUL

FILE 'CAPLUS' ENTERED AT 15:10:39 ON 25 APR 2003

L4 9 S L3

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

41.24

189.60

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-5.86

-5.86

STN INTERNATIONAL LOGOFF AT 15:11:30 ON 25 APR 2003